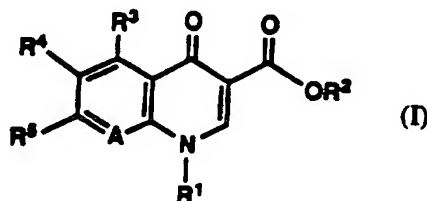




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification⁵ : A61K 31/47, 31/54, 31/535, 31/44 C07D 405/14, 401/10, 455/06, 279/02 C07D 279/08, 279/14, 265/02, 265/16, 265/34</p>	<p>A1</p>	<p>(11) International Publication Number: WO 92/10191 (43) International Publication Date: 25 June 1992 (25.06.92)</p>
<p>(21) International Application Number: PCT/US91/09419 (22) International Filing Date: 12 December 1991 (12.12.91) (30) Priority data: 626,602 12 December 1990 (12.12.90) US (71) Applicant: ABBOTT LABORATORIES [US/US]; Chad D-377/AP6D, One Abbott Park Road, Abbott Park, IL 60064-3500 (US). (72) Inventors: CHU, Daniel, T. ; 330 Sutcliffe Circle, Vernon Hills, IL 60061 (US). COOPER, Curt, S. ; 3101-2 Heiden Gardens, Lake Bluff, IL 60044 (US). (74) Agents: GORMAN, Edward, Hoover, Jr. et al.; Abbott Laboratories, Chad 377/AP6D, One Abbott Park Road, Abbott Park, IL 60064-3500 (US).</p>		<p>(81) Designated States: AT (European patent), AU, BE (European patent), BR, CA, CH (European patent), DE (European patent), DK (European patent), ES (European patent), FR (European patent), GB (European patent), GR (European patent), IT (European patent), JP, KR, LU (European patent), MC (European patent), NL (European patent), NO, PL, SE (European patent). Published <i>With a revised version of the international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i> (88) Date of publication of the revised version of the international search report: 13 May 1993 (13.05.93)</p>

(54) Title: QUINOLINE, NAPHTHYRIDINE AND PYRIDOBENZOXAZINE DERIVATIVES



(57) Abstract

Novel antibacterial compounds are disclosed having formula (I) as well as pharmaceutically acceptable salts, esters, amide and prodrugs thereof, wherein R¹ is selected from the group consisting of (a) lower alkyl, (b) halo(lower alkyl), (c) lower alkyl(alkynyl), (d) lower cycloalkyl, (e) lower alkylamino, (f) nitrogen-containing aromatic heterocycle, (g) bicyclic alkyl and (h) phenyl; R² is selected from the group consisting of hydrogen, lower alkyl, a pharmaceutically acceptable cation, and a prodrug ester group; R³ and R⁴ are independently selected from the group consisting of hydrogen, halogen, amino, and lower alkyl; R⁵ is either a nitrogen-containing heterocycle or a nitrogen-containing spiro-bicyclic-heterocycle; and A is N or C-R⁶, wherein R⁶ is selected from the group consisting of hydrogen, halogen, lower alkyl, and lower alkoxy, or R¹ and R⁶ taken together with the atoms to which they are attached form a 6-membered ring which may contain an oxygen or sulfur atom and which may be substituted with lower alkyl; as well as pharmaceutical compositions comprising such novel compounds and the therapeutic use thereof.

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US91/09419

A. CLASSIFICATION OF SUBJECT MATTER

IPC(5) : Please See Extra Sheet.

US CL : Please See Extra Sheet.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 544/6, 32, 33, 63, 70, 89, 101; 546/15, 94, 123, 169, 170, 278, 300, 312, 224-5, 230-2

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP, A2, 326/916 (PETERSEN) 09 AUGUST 1989 See ex. 12; page 2; table examples 39-43; ex. 6-10	1-4, 7, 9, 10
X	JP, A2, 58-72589 (DAIICHI) 30 APRIL 1983 See page 788 ex 19	1-4, 7, 9, 10

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:	* T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
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* E earlier document published on or after the international filing date	* Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
* L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	* & document member of the same patent family
* O document referring to an oral disclosure, use, exhibition or other means	
* P document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search
01 JULY 1992

Date of mailing of the international search report
08 APR 1993

Name and mailing address of the ISA/US
Commissioner of Patents and Trademarks
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MARK BERCH

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US91/09419

A. CLASSIFICATION OF SUBJECT MATTER:

IPC (5):

A61K 31/47,31/54,31/535,31/44,C07D 405/14,401/10,C07D 455/06; 279/02; 279/08,279/14,265/02;265/16;265/34;

A. CLASSIFICATION OF SUBJECT MATTER:

US CL :

544/6,32,33,63,70,89,101,546/15,94,123,169,170,278,300,312,224.5,230.2

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US91/09419**Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)**

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

- I. Claims 1-7(part), 8,9-10(part) Bicyclic Core
- II. Claims 1-7(part),9-10(part) Tricyclic Core

1. ☒ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.